

OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND SITE OF MODIFICATION

Oligo No.

Sequence & Modification

SEQ ID NO.: 8

SEQ ID NO.: 9

SEQ ID NO.: 10

SEQ ID NO.: 11

SEQ ID NO.: 12 SEQ ID NO.: 13

SEQ ID NO.: 14

SEQ ID NO.: 15

SEQ ID NO.: 16

SEQ ID NO.: 17

SEQ ID NO.: 18

SEQ ID NO.: 19

SEQ ID NO.: 20

SEQ ID NO.: 21

SEQ ID NO.: 22 SEQ ID NO.: 23

5'-CCTACTAG<u>CG</u>TTCTCATC-3' (133-1)

5'-CCTACTAGCXTTCTCATC-3' (139-2)

5'-CCTACTAXCGTTCTCATC-3' (133-2)

5'-CCTACTXGCGTTCTCATC-3' (139-3) 5'-CCTACXAGCGTTCTCATC-3' (133-3)

5'-CCTAXTAGCGTTCTCATC-3' (139-4)

5'-CCTXCTAG<u>CC</u>TTCTCATC-3' (145-10a) 5'-CCTXCTAGCGTTCTCATC-3' (133-4)

5'-CCTACTAGCGXTCTCATC-3' (133-5)

5'-CCTACTAGCGTXCTCATC-3' (139-7)

1',2'-Dideoxyribose

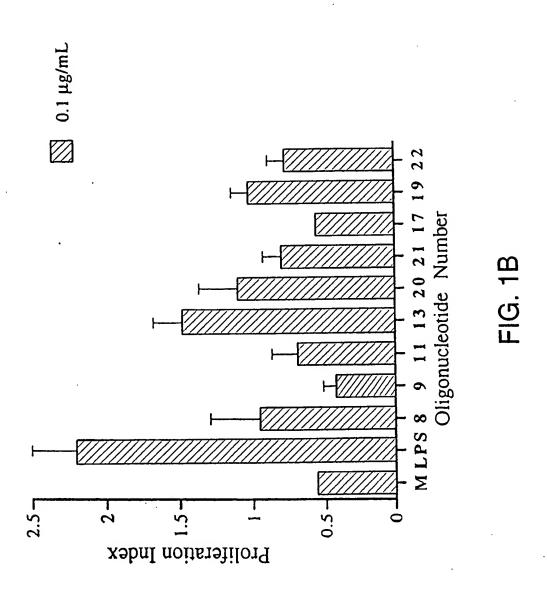
5'-CCTACTAGCGTTCXCATC-3' (139-8) 5'-CCTACTAGCGTTXTCATC-3' (133-6)

5'-CCTXXTAGCGTTCTCATC-3' (133-12)

5'-XXTACTAGCGTTCTCATC-3' (139-6) 5'-CCTACTAGCGTTCXXATC-3' (139-9)

5'-CCTXCTXGCGTTCTCATC-3' (145-10b)

FIG. 1A



OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND SITE OF MODIFICATION

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Sequence & Modification

SEQ ID NO.: 10 SEQ ID NO.: 9 SEQ ID NO.: 8

SEQ ID NO.: 12 SEQ ID NO.: 11

SEQ ID NO.: 13

SEQ ID NO.: 14

SEQ ID NO.: 15

SEQ ID NO.: 16

SEQ ID NO.: 17

SEQ ID NO.: 18

SEQ ID NO.: 20 SEQ ID NO.: 19

SEQ ID NO.: 22 **SEQ ID NO.: 21**

SEQ ID NO.: 23

5'-CCTACTAG<u>CG</u>TTCTCATC-3' (133-1)

5'-CCTACTAGCXTTCTCATC-3' (139-2)

5'-CCTACTAXCGTTCTCATC-3' (133-2)

5'-CCTACXAGCGTTCTCATC-3' (133-3) 5'-CCTACTXGCGTTCTCATC-3' (139-3)

5'-CCTAXTAGCGTTCTCATC-3' (139-4)

5'-CCTXCTAG<u>CC</u>TTCTCATC-3' (145-10a) 5'-CCTXCTAGCGTTCTCATC-3' (133-4)

5'-CCTACTAGCGXTCTCATC-3' (133-5)

5'-CCTACTAGCGTXCTCATC-3' (139-7) 5'-CCTACTAGCGTTXTCATC-3' (133-6)

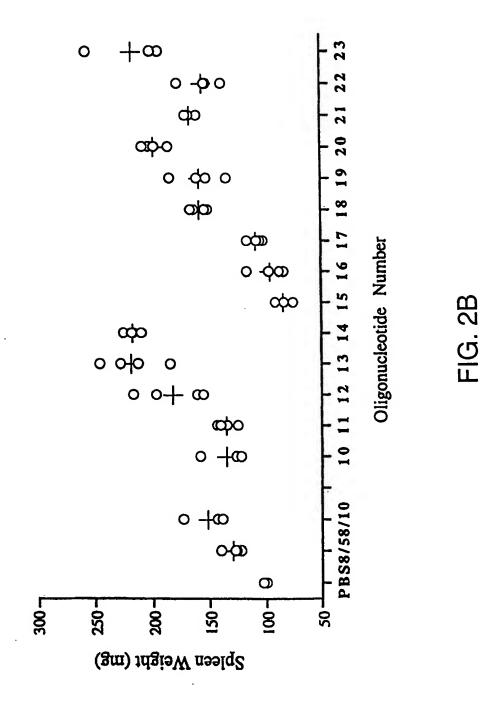
1',2'-Dideoxyribose

5'-CCTACTAGCGTTCXCATC-3' (139-8)

5'-CCTXXTAGCGTTCTCATC-3' (133-12) 5'-XXTACTAGCGTTCTCATC-3' (139-6)

5'-CCTXCTXGCGTTCTCATC-3' (145-10b) 5'-CCTACTAGCGTTCXXATC-3' (139-9)

FIG. 2A



OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND SITE OF MODIFICATION

Oligo No.	Sequence & Modification	
EQ ID NO.: 1 1	5'-CTATCTGA <u>CG</u> TTCTCTGT-3' (131-1)	1
EQ ID NO.: 105 2	5'-CTATCTGAXGTTCTCTGT-3' (131-13)	0-
EQ ID NO.: 106 3	5'-CTATCTGXCGTTCTCTGT-3' (131-2)	ر ا
EQ ID NO.: 107 4	5'-CTATCXGACGTTCTCTGT-3' (131-3)] = X
EQ ID NO.: 108 5	5'-CTAXCTGACGTTCTCTGT-3' (131-4)	Э-c
EQ ID NO.: 109 6	5'-CTATCTGACGXTCTCTGT-3' (131-5)	0,4,0
EQ ID NO.: 110 7	5'-CTATCTGACGTTXTCTGT-3' (131-6)	~) ,,

FIG. 3A

1',2'-Dideoxyribose

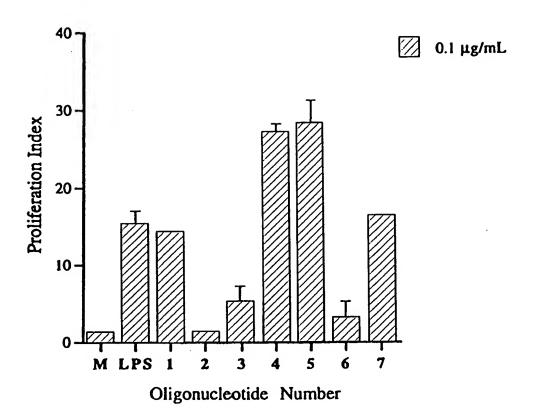


FIG. 3B

OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND SITE OF MODIFICATION

Sequence & Modification	5'-CTATCTGA <u>CG</u> TTCTCTGT-3' (131-1)	5'-CTATCTGAXGTTCTCTGT-3' (131-13)	5'-CTATCTGXCGTTCTCTGT-3' (131-2)	5'-CTATCXGACGTTCTCTGT-3' (131-3) $X = 3'$	5'-CTAXCTGACGTTCTCTGT-3' (131-4)	5'-CTATCTGACGXTCTCTGT-3' (131-5)	5'-CTATCTGACGTTXTCTGT-3' (131-6)	
Nigo No. Sequenc	5'-CTATCTGA <u>C</u>	5'-CTATCTGAX	5'-CTATCTGXC	5'-CTATCXGAC	5'-CTAXCTGAC	5'-CTATCTGAC	5'-CTATCTGAC	
Oligo		5 2	6 3	7 4	8 5	9 6	0 7	
5	SEQ ID NO.: 1	SEQ ID NO.: 105	SEQ ID NO.: 106	SEQ ID NO.: 107	SEQ ID NO.: 108	SEQ ID NO.: 109	SEQ ID NO.: 110	

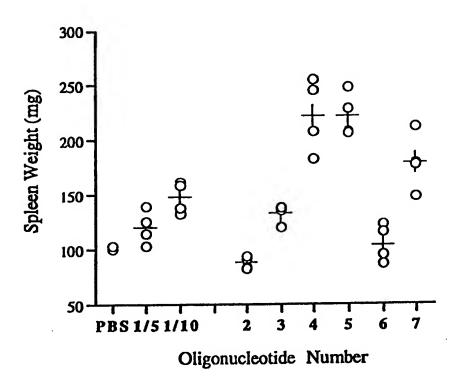
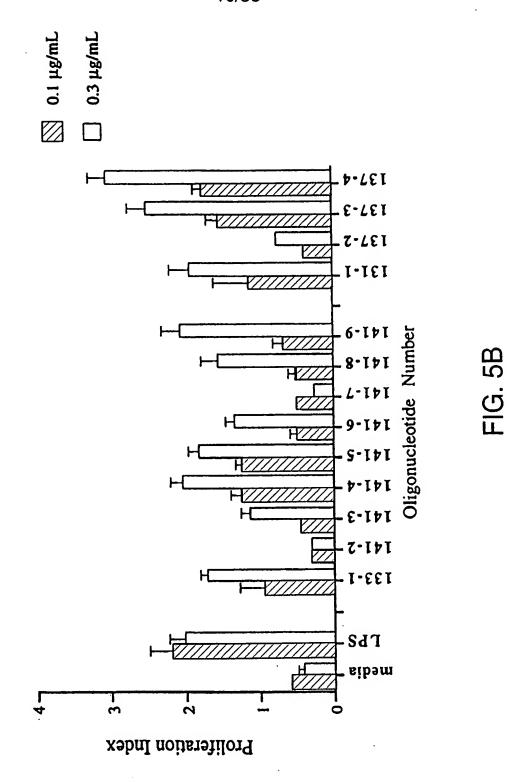


FIG. 4B

OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND MODIFICATION OF LINKAGES

9	Oligo No.	Sequence & Modification	
SEQ ID NO.: 8	133-1	5'-CCTACTAGCGTTCTCATC-3'	
SEQ ID NO.: 24	141-2	5'-CCTACTAGCXTTCTCATC-3'	
SEQ ID NO.: 25	141-3	5'-CCTACTXGCGTTCTCATC-3'	
SEQ ID NO.: 26	141-4	5'-CCTAXTAGCGTTCTCATC-3'	
SEQ ID NO.: 27	141-5	5'-CCTXXTAGCGTTCTCATC-3'	_
SEQ ID NO.: 28	141-6	5'-XXTACTAGCGTTCTCATC-3' $A = \begin{bmatrix} A = \\ A = A =$	/
SEQ ID NO.: 29	141-7	5'-CCTACTAGCGTXCTCATC-3'	٥
SEQ ID NO.: 30	141-8	5'-CCTACTAGCGTTCXCATC-3')=P-0
SEQ ID NO.: 31	141-9	5'-CCTACTAGCGTTCXXATC-3')~ OS
SEQ ID NO.: 1	131-1	5'-CTATCTGACGTTCTCTGT-3'	
SEQ ID NO.: 32	137-2	5'-CTATCTGXCGTTCTCTGT-3'	
SEQ ID NO.: 33	137-3	5'-CTATCXGACGTTCTCTGT-3'	
SEQ ID NO.: 34	137-4	5'-CTAXCTGACGTTCTCTGT-3'	

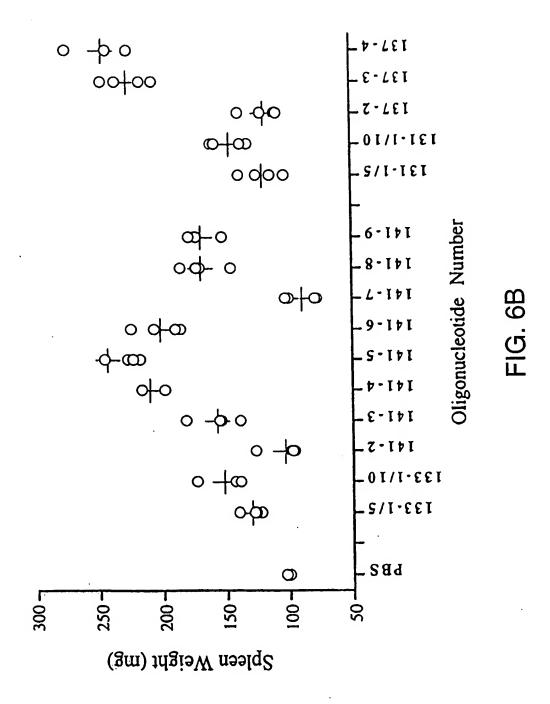
FIG. 5A



OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND MODIFICATION OF LINKAGES

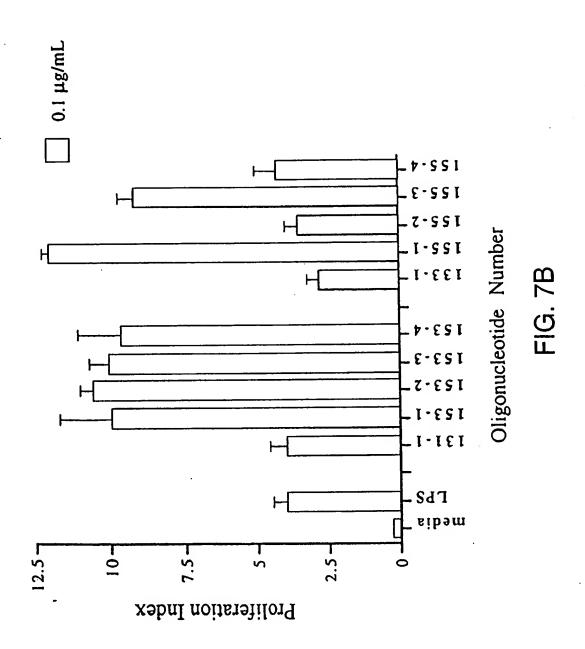
0	Oligo No.	Sequence & Modification	
SEQ ID NO.: 8	133-1	5'-CCTACTAGCGTTCTCATC-3'	
SEQ ID NO.: 24	141-2	5'-CCTACTAGCXTTCTCATC-3'	
SEQ ID NO.: 25	141-3	5'-CCTACTXGCGTTCTCATC-3'	, °
SEQ ID NO.: 26	141-4	5'-CCTAXTAGCGTTCTCATC-3'	
SEO ID NO: 27	141-5	5'-CCTXXTAGCGTTCTCATC-3'	>
SEO ID NO.: 28	141-6	5-XXTACTAGCGTTCTCATC-3'	
SEC ID NO: 29	141-7	5'-CCTACTAGCGTXCTCATC-3'	,o·
SEO ID NO: 30	141-8	5'-CCTACTAGCGTTCXCATC-3'	0-4-0
SEQ ID NO.: 31	141-9	5'-CCTACTAGCGTTCXXATC-3'	~ ₀
CEO ID NO - 1	131-1	5'-CTATCTGACGTTCTCTGT-3'	C3-Linker
SEG ID NO.: 32	137-2	5'-CTATCTGXCGTTCTCTGT-3'	
SEQ ID NO.: 33	137-3	5'-CTATCXGACGTTCTCTGT-3'	
SEQ ID NO.: 34	137-4	5'-CTAXCTGACGTTCTCTGT-3'	

FIG. 6A



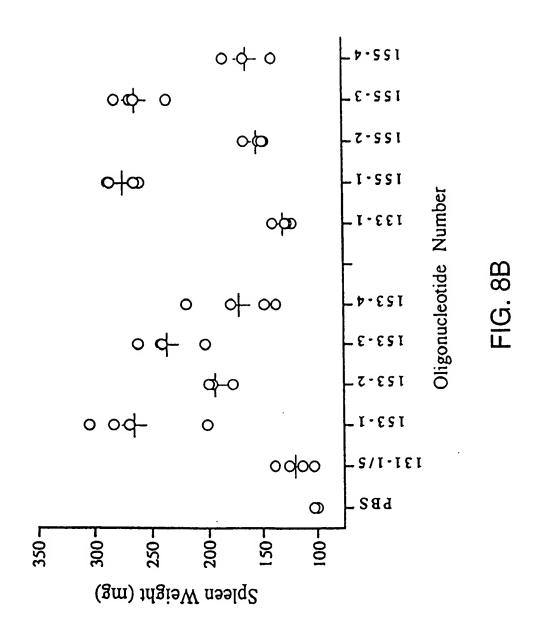
OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND SITE OF MODIFICATION

S	Oligo No.	Sequence & Modification	1
SEQ ID NO.: 1	_	5-CTATCTGA <u>CG</u> TTCTCTGT-3' (131-1)	
SEQ ID NO.: 35	8	5'-CTAXCTGACGTTCTCTGT-3' (153-1)	
SEQ ID NO.: 36	ო	5'-CTATCTGACGTTCXCTGT-3' (153-2)	
SEQ ID NO.: 37	4	5'-CTAYCTGACGTTCTCTGT-3' (153-3)	
SEQ ID NO.: 38	2	5'-CTATCTGACGTTCYCTGT-3' (153-4)	
SEQ ID NO.: 8	9	5'-CCTACTAGCGTTCTCATC-3' (133-1)	
SEQ ID NO.: 39	7	5'-CCTXCTAGCGTTCTCATC-3' (155-1)	
SEQ ID NO.: 40	æ	5'-CCTACTAGCGTTCXCATC-3' (155-2)	
SEQ ID NO.: 41	O	5'-CCTYCTAGCGTTCTCATC-3' (155-3)	
SEQ ID NO.: 42	10	5'-CCTACTAGCGTTCYCATC-3' (155-4)	
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	Spacer18		



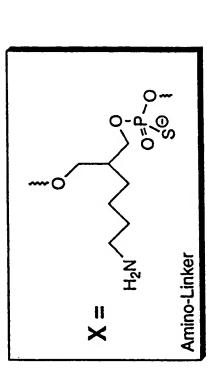
OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND SITE OF MODIFICATION

0	Oligo No.	Sequence & Modification	
SEQ ID NO.: 1 SEQ ID NO.: 35 SEQ ID NO.: 36 SEQ ID NO.: 37 SEQ ID NO.: 38	- C & 4 to	5'-CTATCTGA <u>CG</u> TTCTCTGT-3' (131-1) 5'-CTAXCTGACGTTCTCTGT-3' (153-1) 5'-CTATCTGACGTTCXCTGT-3' (153-2) 5'-CTAYCTGACGTTCTCTGT-3' (153-3) 5'-CTAYCTGACGTTCYCTGT-3' (153-4)	
SEQ ID NO.: 8 SEQ ID NO.: 39 SEQ ID NO.: 40 SEQ ID NO.: 41 SEQ ID NO.: 42	6 8 9 10	5'-CCTACTAGCGTTCTCATC-3' (133-1) 5'-CCTXCTAGCGTTCTCATC-3' (155-1) 5'-CCTACTAGCGTTCXCATC-3' (155-2) 5'-CCTYCTAGCGTTCTCATC-3' (155-3) 5'-CCTYCTAGCGTTCYCATC-3' (155-3)	
	X = X Spacer9 $Y = X$ Spacer18	X = x $Spacer9$ $Y = x $ $Y = x $ $Spacer18$	

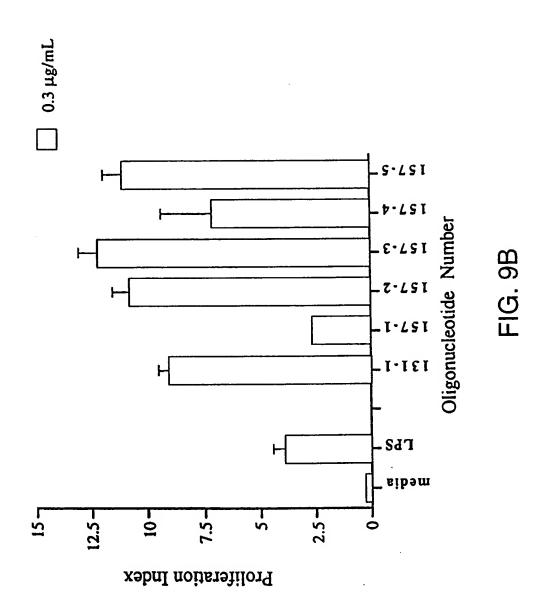


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5 1	יומסקרסעיוו	
	Oligo No.	Sequence & Modification
SEQ ID NO.: 1	-	5'-CTATCTGACGTTCTCTGT-3' (131-1)
SEQ ID NO.: 43	·0	5'-CTATCTGXCGTTCTCTGT-3' (157-1)
SEQ ID NO.: 44	က 1	5'-CTATCTXACGTTCTCTGT-3' (157-2)
SEQ ID NO.: 45	4	5'-CTAXCTGACGTTCTCTGT-3' (157-3)
SEQ ID NO.: 46	5	5'-CTATCTGACGTXCTCTGT-3' (157-4)
SEQ ID NO.: 47	9 4	5'-CTATCTGACGTTCXCTGT-3' (157-5)



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Oligo	

ence & Modification

SEQ ID NO.: 1

SEQ ID NO.: 43

SEQ ID NO.: 44

SEQ ID NO.: 45

SEQ ID NO.: 46

SEQ ID NO.: 47

5'-CTATCTGACGTTCTCTGT-3' (131-1) 5'-CTATCTGXCGTTCTCTGT-3' (157-1)

5'-CTATCTXACGTTCTCTGT-3' (157-2)

5'-CTAXCTGACGTTCTCTGT-3' (157-3) 5'-CTATCTGACGTXCTCTGT-3' (157-4)

5'-CTATCTGACGTTCXCTGT-3' (157-5)

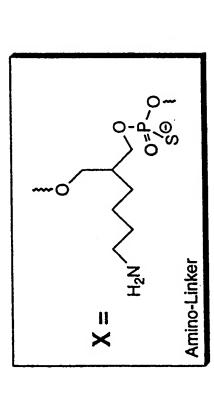


FIG. 10A

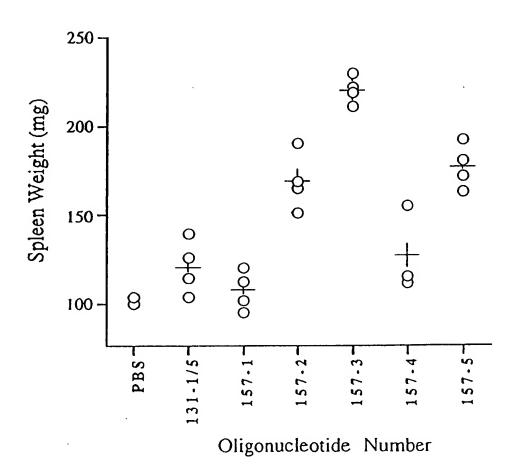
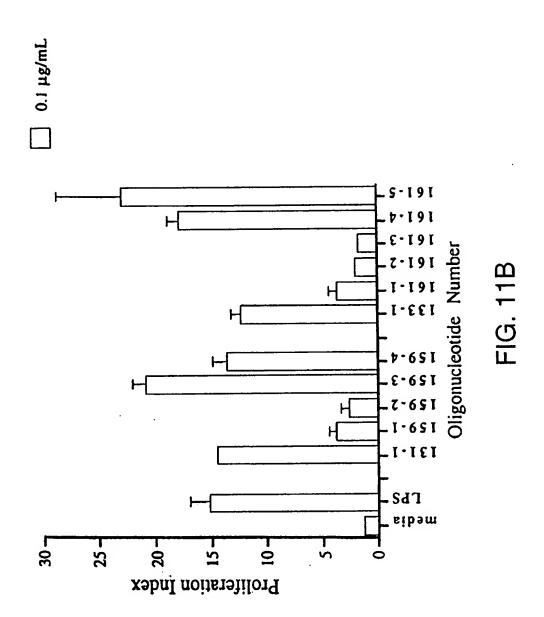


FIG. 10B

OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND MODIFICATION OF LINKAGES

Oligo No.	Sequence & Modification	
SEQ ID NO.: 1 131-1	5'-CTATCTGACGTTCTCTGT-3'	
SEQ ID NO.: 48 159-1	5'-CTATCTGACXTTCTCTGT-3'	0
SEQ ID NO.: 49 159-2	5'-CTATCTGAXGTTCTCTGT-3'	$X = 5^{\circ}$
SEQ ID NO.: 50 159-3	5'-CTATXTGACGTTCTCTGT-3'	
SEQ ID NO.: 51 159-4	5'-CTATCTGACGTTCTXTGT-3')-4-0)-4-0
SEQ ID NO.: 8 133-1	5'-CCTACTAGCGTTCTCATC-3'	විග
SEQ ID NO.: 52 161-1	5'-CCTACTAGCXTTCTCATC-3'	
SEQ ID NO.: 53 161-2	5'-CCTACTAGXGTTCTCATC-3'	3'-Deoxynucleoside
SEQ ID NO.: 54 161-3	5'-CCTACTAXCGTTCTCATC-3'	
SEQ ID NO.: 55 161-4	5'-CCTAXTAGCGTTCTCATC-3'	
SEQ ID NO.: 56 161-5	5'-CCTACTAGCGTTCTXATC-3'	

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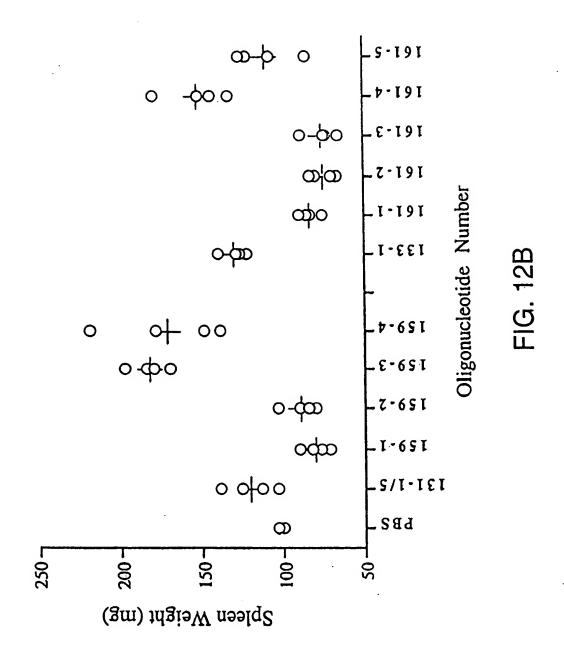


OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND MODIFICATION OF LINKAGES

Oligo No.	Sequence & Modification	
SEO ID NO : 1		·
SER 15 12 13 1-1	5-CIAICIGACGIICICIGI-3	
SEQ ID NO.: 48 159-1	5'-CTATCTGACXTTCTCTGT-3'	•
SEQ ID NO.: 49 159-2	5'-CTATCTGAXGTTCTCTGT-3'	X = 5'
SEQ ID NO.: 50 159-3	5'-CTATXTGACGTTCTCTGT-3'	2
SEQ ID NO.: 51 159-4	5'-CTATCTGACGTTCTXTGT-3') - 4.5 C - 7.5
SEQ ID NO.: 8 133-1	5'-CCTACTAGCGTTCTCATC-3'	,)
SEQ ID NO.: 52 161-1	5'-CCTACTAGCXTTCTCATC-3'	
SEQ ID NO.: 53 161-2	5'-CCTACTAGXGTTCTCATC-3'	3'-Deoxynucleoside
SEQ ID NO.: 54 161-3	5'-CCTACTAXCGTTCTCATC-3'	
SEQ ID NO.: 55 161-4	5'-CCTAXTAGCGTTCTCATC-3'	
SEQ ID NO.: 56 161-5	5'-CCTACTAGCGTTCTXATC-3'	

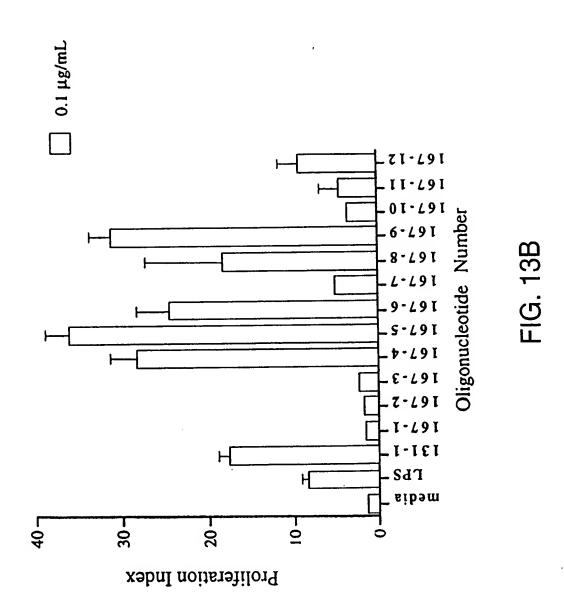
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FIG. 12A



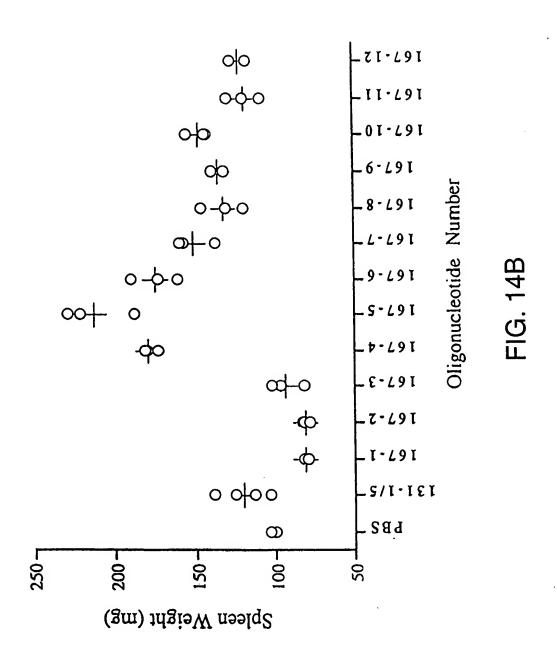
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Ö	Oligo No.	Sequence & Modification
SEQ ID NO.: 1	131-1	5'-CTATCTGACGTTCTCTGT-3'
SEQ ID NO.: 57	167-1	5'-CTATCTGXCGTTCTCTGT-3'
SEQ ID NO:: 58	167-2	S'-CTATCTXACGTTCTCTGT-3'
SEQ ID NO.: 59	167-3	5'-CTATCXGACGTTCTCTGT-3'
SEQ ID NO.: 60	167-4	5'-CTATXTGACGTTCTCTGT-3'
SEQ ID NO.: 61	167-5	5'-CTAXCTGACGTTCTCTGT-3'
SEQ ID NO.: 62	167-6	5'-CTXXCTGACGTTCTCTGT-3' Methyl-pr
SEQ ID NO.: 63	167-7	5'-CTATCTGACGXTCTCTGT-3'
SEQ ID NO.: 64	167-8	5'-CTATCTGACGTXCTCTGT-3'
SEQ ID NO.: 65	167-9	5'-CTATCTGACGTTXTCTGT-3'
SEQ ID NO.: 66	167-10	5'-CTATCTGACGTTCXCTGT-3'
SEQ ID NO.: 67	16711	5'-CTATCTGACGTTCTXTGT-3'
SEQ ID NO.: 68	167-12	5'-CTATCTGACGTTCTXXGT-3'



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OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND MODIFICATION OF LINKAGES	
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Oligo N	o No.	Sequence & Modification
SEQ ID NO.: 1	131-1	5'-CTATCTGACGTTCTCTGT-3'
SEQ ID NO.: 57 1	167-1	5'-CTATCTGXCGTTCTCTGT-3'
SEQ ID NO.: 58 1	167-2	S-CTATCTXACGTTCTCTGT-3'
SEQ ID NO.: 59 1	167-3	5'-CTATCXGACGTTCTCTGT-3'
SEQ ID NO.: 60 1	167-4	5'-CTATXTGACGTTCTCTGT-3'
SEQ ID NO.: 61	167-5	5'-CTAXCTGACGTTCTCTGT-3'
SEQ ID NO.: 62 1	167-6	5'-CTXXCTGACGTTCTCTGT-3' Methyl-phosp
SEQ ID NO.: 63 1	167-7	5'-CTATCTGACGXTCTCTGT-3'
SEQ ID NO.: 64 1	167-8	5'-CTATCTGACGTXCTCTGT-3'
SEQ ID NO.: 65 1	167-9	5'-CTATCTGACGTTXTCTGT-3'
SEQ ID NO.: 66 1	167-10	5'-CTATCTGACGTTCXCTGT-3'
SEQ ID NO.: 67 1	16711	5'-CTATCTGACGTTCTXTGT-3'
SEQ ID NO.: 68 1	167-12	5'-CTATCTGACGTTCTXXGT-3'



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OR

 $R_X = 2$ '-O-Methylribonucleoside Ry = 2'-O-Methoxyethoxyribonucleoside

OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND MODIFICATION OF LINKAGES

Sequence & Modification

Oligo No.

5'-TCCATGACGTTCCTGATGC-3' SEQ ID NO.: 69 113-1

SEQ ID NO.: 70 23-1

5'-TCCATGXCGTTCCTGATGC-3'

5'-TCCAXGACGTTCCTGATGC-3'

SEQ ID NO.: 71 23-3

SEQ ID NO.: 72 29-2

5'-TYYATGACGGTCCTGATGC-3'

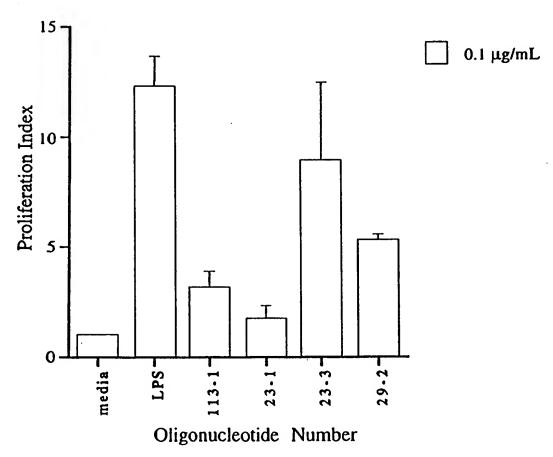


FIG. 15B

 $R_X = 2$ '-O-Methylribonucleoside Ry = 2'-O-Methoxyethoxyribonucleoside

OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND MODIFICATION OF LINKAGES

Sequence & Modification Oligo No.

5'-TCCATGACGTTCCTGATGC-3' SEQ ID NO.: 69 113-1 SEQ ID NO.: 70 23-1

5'-TCCATGXCGTTCCTGATGC-3' 5'-TCCAXGACGTTCCTGATGC-3' SEQ ID NO.: 71 23-3

5'-TYYATGACGGTCCTGATGC-3' SEQ ID NO.: 72 29-2

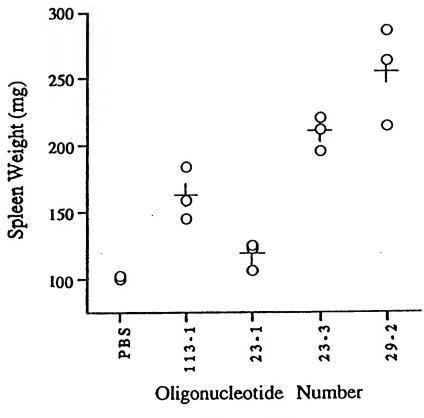


FIG. 16B

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	Oligo No.	Sequence & Modification
SEQ ID NO.: 73	~	5'-GAGAACGCTCGACCTT-3'
SEQ ID NO.: 74	8	5'GAGAACGCTCGACCTT-3'5'GAGAACGCTCGACCTT-3'
SEQ ID NO.: 75	က	3-TTCCAGCTCGCAAGAG-5-GAGAACGCTCGACCTT-3'
SEQ ID NO.: 76	4	5'-GAGAACGCTCGACCTT-3' 3'-TTCCAGCTCGCAAGAG-5'
SEQ ID NO.: 77	ស	5'-T C T C C C G G G C C A T-3'
SEQ ID NO.: 78	9	5'TCCCAGCGTGCGCCAT-3' 5'-TCCCAGCGTGCGCCAT-3'
SEQ ID NO.: 79	7	3'-TACCGCGTGCGACCCT-5' 5'-TCCCAGCGTGCGCCAT-3'
SEQ ID NO.: 80	80	5'TCCCAGCGTGCGCCAT-3' 3'-TACCGCGTGCGACCCT-5'

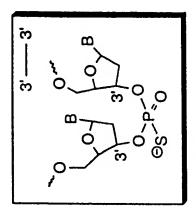
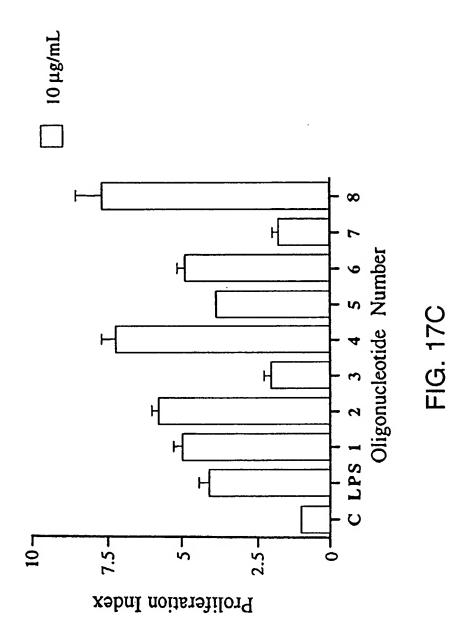


FIG. 17B



OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND MODIFICATION OF LINKAGES

Oligo No.	Sequence & Modification	
SEQ ID NO.: 1 131-1	5'-CTATCTGACGTTCTCTGT-3'	
SEQ ID NO.: 81 175-1	5'-CTATXTGACGTTCTCTGT-3'	` , O -
SEQ ID NO.: 82 175-2	5'-CTATCTGACGTTCXCTGT-3'	$X = \mathbb{R} \setminus \mathbb{Q} \setminus \mathbb{Q}$
SEQ ID NO.: 83 175-3	5'-CTAXXTGACGTTCTCTGT-3'	°
SEQ ID NO.: 84 175-4	5'-CTATCTGACGTTCXXTGT-3'	0-4-0
SEQ ID NO.: 85 175-5	5'-CTATCTGAXXTTCTCTGT-3'	s ^{(O} (5, O ∕ B
SEQ ID NO.: 86 175-6	5'-CTATCTXACGTTCTCTGT-3'	β -L-Deoxynucleoside 3'
SEQ ID NO.: 87 175-7	5'-CTATCTGACGTXCTCTGT-3'	,
SEQ ID NO.: 88 175-9	5'-CTATCTGACGTTCTCTGT-3' (all-β-L-DNA/PS)	DNA/PS)

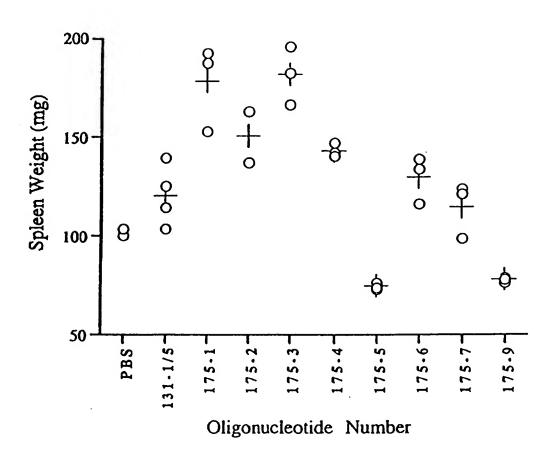


FIG. 18B

3	8	/	5	3

3

2'-O-Propargyl-ribonucleoside

FIG. 19A

OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND MODIFICATION OF LINKAGES

Oligo No.

Sequence & Modification

SEQ ID NO.: 1 131-1

5'-CTATCTGACGTTCTCTGT-3'

5'-CTATXTGACGTTCTCTGT-3'

5'-CTATCTGACGTTCTXTGT-3'

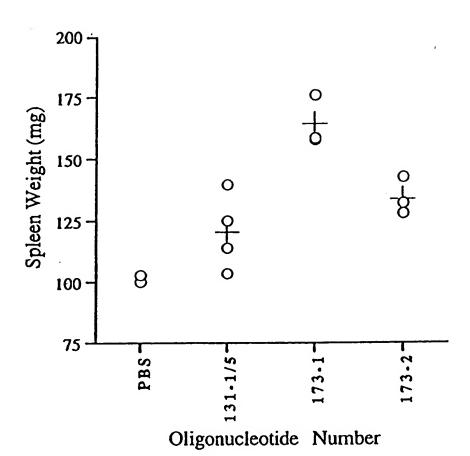


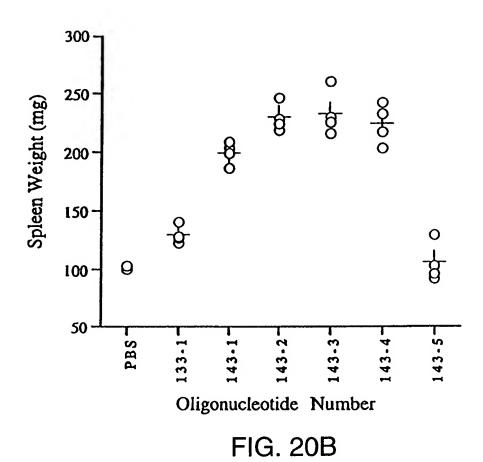
FIG. 19B

OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND MODIFICATION OF LINKAGES

9	Oligo No.	Sequence & Modification
SEQ ID NO.: 8	133-1	5'-CCTACTAGCGTTCTCATC-3'
SEQ ID NO.: 91	143-1	5'-CCTXXTAGCGTTCTCATC-3'
SEQ ID NO.: 92	143-2	5'-CCTYYTAGCGTTCTCATC-3'
SEQ ID NO.: 93	143-3	5'-CCTZZTAGCGTTCTCATC-3'
SEQ ID NO.: 94	143-4	5'-CCTXXTAGCGTVCTCATC-3'
SEQ ID NO.: 95	143-5	5'-CCTACTAGGCTTCTCATC-3'

FIG. 20A

X = 1',2'-Dideoxyribose Y = C3-Linker Z = 3'-OMe V = 2'-OMe



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OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND MODIFICATION OF LINKAGES

= = = = = = = = = = = = = = = = = = =		
Oli	go No.	Sequence & Modification
SEQ ID NO.: 1	1	5'-CTATCTGACGTTCTCTGT-3' (131-1)
SEQ ID NO.: 96	2	5'-CTATCTGACG*TTCTCTGT-3' (E 633L)
SEQ ID NO.: 97	3	5'-CTATCTGA <u>G*C</u> TTCTCTGT-3' (E 636)
SEQ ID NO.: 98	4	5'-TCTCCCAG <u>CG</u> TG <u>CG</u> CCAT-3'
SEQ ID NO.: 99	5	5'-TCTCCCAG <u>CG*</u> TG <u>CG*</u> CCAT-3' (E 603)
SEQ ID NO.: 100) 6	5'-CTATXTGA <u>CG*</u> TTCTCTGT-3' (E 639L)

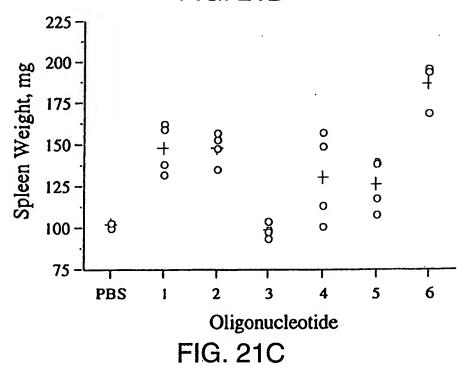
FIG. 21A

ONH
NNH₂

$$dR$$
 $G^* = 7$ -deazaguanine

 $X = C3$ - Linker

FIG. 21B



OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND SITE OF MODIFICATION

Sequence & Modification	
Oligo No.	

SEQ ID NO.: 101 2 SEQ ID NO.: 102 3

SEQ ID NO.: 1

FIG. 22A

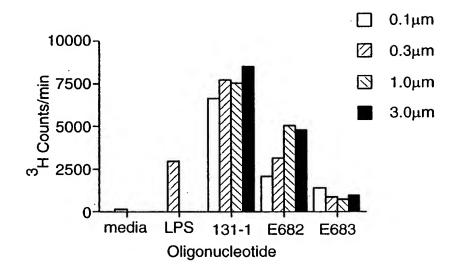


FIG. 22B

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NH-CH2-CH3

C* = 5-hydroxy-cytosine C** = N4-ethyl-cytosine

Sequence & Modification Oligo No.

OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND SITE OF MODIFICATION

SEQ ID NO.: 1 1 S'-CTATCTGACGTTCTCTGT-3'

5'-CTATCTGAC*GTTCTCTGT-3' SEQ ID NO.: 2

5'-CTATCTGACC*TTCTCTGT-3' ന SEQ ID NO.: 3 5'-CTATCTGACC**TTCTCTGT-3' S SEQ ID NO.: 5

5'-CTATCTGAC**GTTCTCTGT-3'

SEQ ID NO.: 4

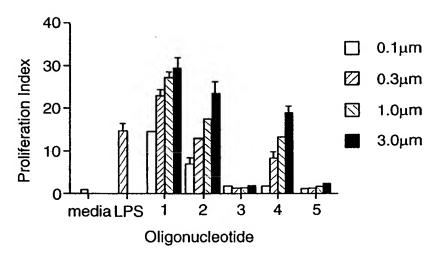


FIG. 23B

OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND SITE OF MODIFICATION

Sequence & Modification Oligo No.

S'-CTATCTGAC**GTTCTCTGT-3' 5'-CTATCTGACC**TTCTCTGT-3' 5'-CTATCTGAC*GTTCTCTGT-3' S'-CTATCTGACC*TTCTCTGT-3' 5'-CTATCTGACGTTCTCTGT-3' SEQ ID NO.: 1 n **SEQ ID NO.: 4 4** SEQ ID NO.: 2 SEQ ID NO.: 3 SEQ ID NO.: 5

C* = 5-hydroxy-cytosine C** = N4-ethyl-cytosine NH-CH₂-CH₃ Ä.

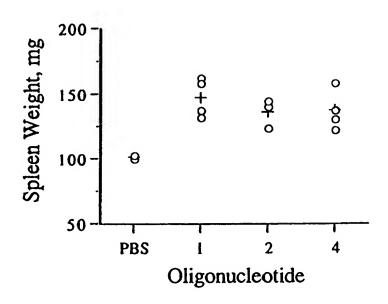


FIG. 24B

OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND SITE OF MODIFICATION

 $\tilde{q}:$

Ō	ligo No.	Sequence & Modification	
			zHŅ.
SEQ ID NO.: 1	-	5'-CTATCTGA <u>CG</u> TTCTCTGT-3'	z- -{
SEQ ID NO.: 111	7	5'-CTATCTGA <u>C*G</u> TTCTCTGT-3'	
SEQ ID NO.: 112	. ຕ	5'-CTATCTGACC*TTCTCTGT-3'	

FIG. 25A

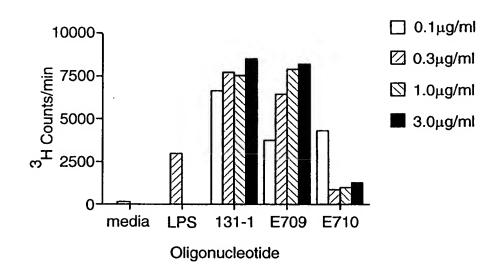


FIG. 25B

OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND SITE OF MODIFICATION

Sequence & Modification

Oligo No.

51/53

5'-CTATCTGAC*GTTCTCTGT-3' (E 647)

SEQ ID NO.: 103

SEQ ID NO.:

5'-CTATCTGACGTTCTCTGT-3' (131-1)

S'-CTATXTGAC*GTTCTCTGT-3' (E 653)

SEQ ID NO.: 3

X = 1,2-Dideoxyribose

C* = 4-Thiouracil

